

Attorney Docket No: 55325.8167.US04

Patent

Transmittal of Utility Patent Application for Filing

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EL 889 537 523 US

January 25, 2002

"Express Mail" Label Number

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CONJUGATE HAVING A CLEAVABLE LINKAGE FOR USE IN A LIPOSOME

This application is a divisional of U.S. Application No. <sup>now US 6,365,179</sup> 09/556,610 filed April 21, 2000, which claims the benefit of U.S. Provisional Application No. 60/130,897 filed April 23, 1999, both of which are incorporated herein by reference in their entirety.

Field of the Invention

The present invention relates to a conjugate comprised of a hydrophobic moiety, a cleavable linkage, and a therapeutic agent. More particularly, the present invention relates to conjugates comprised of a lipid, a cleavable linkage and a drug incorporated into a liposomal formulation. The conjugates are cleavable under mild thiolytic conditions *in vivo* for release of the drug in an unmodified state.

Background of the Invention

Liposomes are closed lipid vesicles used for a variety of therapeutic purposes, and in particular, for carrying therapeutic agents to a target region or cell by systemic administration of liposomes. Liposomes having a surface grafted with chains of water-soluble, biocompatible polymer, in particular polyethylene glycol, have become important drug carriers. These liposomes offer an extended blood circulation lifetime over liposomes lacking the polymer coating. The grafted polymer chains shield or mask the liposome, thus